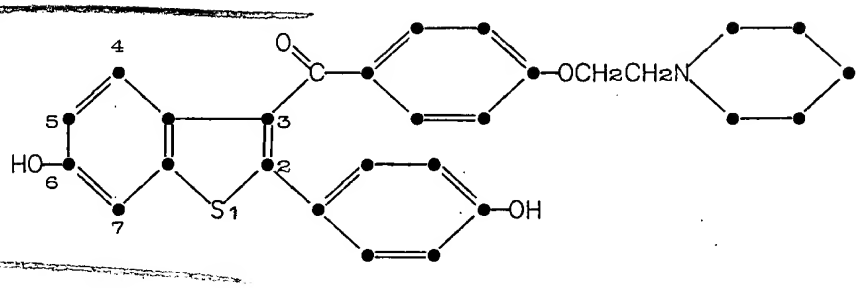
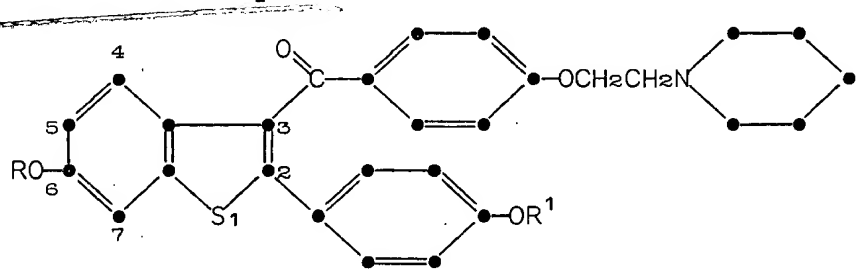
I claim:

1. A compound of the formula



a physiologically acceptable ester or ether thereof, or a physiologically acceptable acid addition salt thereof.

2. A compound of claim 1 of the formula



wherein R and R^1 independently are hydrogen, $-COR^2$ or R^3;

R^2 is hydrogen, C_1-C_{14} alkyl, C_1-C_3 chloroalkyl, C_1-C_3 fluoroalkyl, C_5-C_7 cycloalkyl, C_1-C_4 alkoxy, phenyl, or phenyl mono- or disubstituted with C_1-C_4 alkyl, C_1-C_4 alkoxy, hydroxy, nitro, chloro, fluoro or tri(chloro or fluoro)methyl;

R^3 is C_1-C_4 alkyl, C_5-C_7 cycloalkyl or benzyl; or a physiologically acceptable acid addition salt thereof.

3. The compound of claim 2 which is 6-hydroxy-2-(4-hydroxyphenyl)-3-[4-(2-piperidinoethoxy)-benzoyl]benzo[b]thiophene, or a physiologically acceptable acid addition salt thereof.

4. A compound of claim 2 wherein R and R^1 are the same, and are a group other than hydrogen.

5. A compound of claim 2 wherein one of R and R^1 is hydrogen.

6. A compound of claim 2 wherein one or both of R and R^1 is $-COR^2$.

7. A compound of claim 2 wherein one or both of R and R^1 is R^3.

8. A compound of any one of claims ~~1~~, 2, 4 or 6 wherein R^2 is C_1-C_{14} alkyl.

9. A compound of any one of claims ~~1~~, 2, 4 or 6 wherein R^2 is C_1-C_3 chloroalkyl or C_1-C_3 fluoroalkyl.

10. A compound of any one of claims ~~1~~, 2, 4 or 6 wherein R^2 is C_5-C_7 cycloalkyl.

11. A compound of any one of claims ~~1~~, 2, 4 or 6 wherein R^2 is C_1-C_4 alkoxy.

12. A compound of any one of claims ~~1~~, 2, 4 or 6 wherein R^2 is phenyl.

13. A compound of any one of claims ~~1~~, 2, 4 or 6 wherein R^2 is substituted phenyl.

14. A compound of any one of claims ~~1~~, 2, 4, 5 or 7 wherein R^3 is C_1-C_4 alkyl.

15. A compound of any one of claims ~~1~~, 2, 4, 5 or 7 wherein R^3 is C_5-C_7 cycloalkyl.

16. A compound of any one of claims ~~1~~, 2, 4, 5 or 7 wherein R^3 is benzyl.

17. A compound of any one of claims 1-7 which is a free base.

18. A compound of any one of claims 1-7 which is a physiologically acceptable acid addition salt.

19. A compound of any one of claims 1-7 which is a hydrochloride.

20. The compound of claim 1 which is 6-acetoxy-2-(4-acetoxyphenyl)-3-[4-(2-piperidinoethoxy)benzoyl]-benzo[b]thiophene, or a physiologically acceptable acid addition salt thereof.

21. The compound of claim 1 which is 6-benzoyloxy-2-(4-benzoyloxyphenyl)-3-[4-(2-piperidinoethoxy)-benzoyl]benzo[b]thiophene, or a physiologically acceptable acid addition salt thereof.

22. The compound of claim 1 which is 6-ethoxycarbonyloxy-2-(4-ethoxycarbonyloxyphenyl)-3-[4-(2-piperidinoethoxy)benzoyl]benzo[b]thiophene, or a physiologically acceptable acid addition salt thereof.

23. The compound of claim 1 which is 6-methoxy-2-(4-methoxyphenyl)-3-[4-(2-piperidinoethoxy)benzoyl]-benzo[b]thiophene, or a physiologically acceptable acid addition salt thereof.

24. A method of alleviating a pathological condition of an endocrine target organ, which condition is dependent or partially dependent on an estrogen or on an androgen, which comprises administering to a subject suffering from such a condition ~~or at risk of suffering from such a condition~~ an effective dose of a compound of the formula

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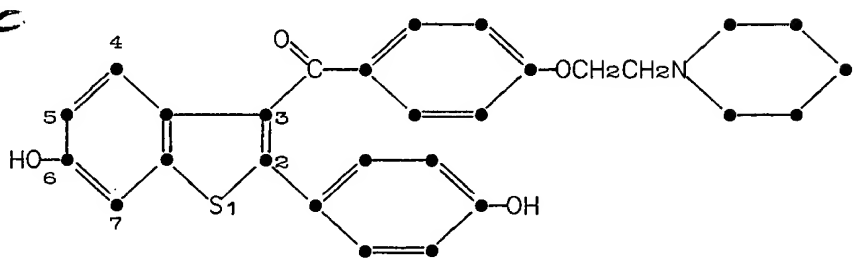
Claims 24-39



a physiologically acceptable ester or ether thereof, or a physiologically acceptable acid addition salt thereof.

40 39
25. A method of claim 24 wherein the pathological condition is dependent or partially dependent on an estrogen.

41 40
26. A method of claim 25 wherein the dose of the compound is from about 0.05 mg./kg./day to about 50 mg./kg./day.

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27. A method of claim 26 wherein the target organ is the breast, and the pathological condition is mammary cancer.

43 42
28. A method of claim 27 wherein the dose of the compound is from about 0.1 mg./kg./day to about 10 mg./kg./day.

44 41
29. A method of claim 26 wherein the target organ is the breast, and the pathological condition is fibrocystic disease.

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30. A method of claim 29 wherein the dose of the compound is from about 0.1 mg./kg./day to about 10 mg./kg./day.

46 39
31. A method of claim 24 wherein the pathological condition is dependent or partially dependent on an androgen.

47 46
32. A method of claim 31 wherein the dose of the compound is from about 0.05 mg./kg./day to about 50 mg./kg./day.

48 47
33. A method of claim 32 wherein the target organ is the prostate, and the pathological condition is prostatic cancer.

49 48
34. A method of claim 33 wherein the dose of the compound is from about 0.1 mg./kg./day to about 10 mg./kg./day.

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35. A method of claim 32 wherein the target organ is the prostate, and the pathological condition is benign prostatic hypertrophy.

51 50
36. A method of claim 35 wherein the dose is from about 0.1 mg./kg./day to about 10 mg./kg./day.

52 39 51
37. A method of any one of claims 24-36 wherein the compound is of the formula

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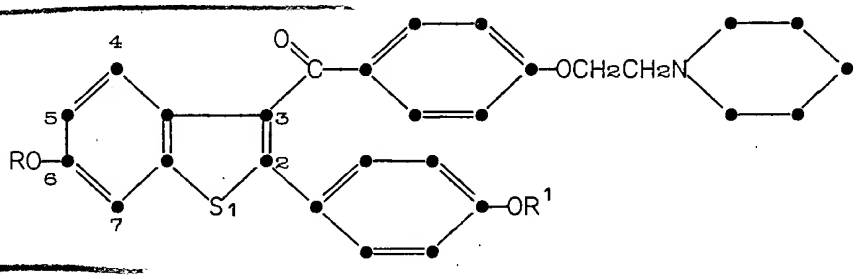


wherein R and R^1 independently are hydrogen, $-COR^2$ or R^3;

R^2 is hydrogen, C_1-C_{14} alkyl, C_1-C_3 chloroalkyl, C_1-C_3 fluoroalkyl, C_5-C_7 cycloalkyl, C_1-C_4 alkoxy, phenyl, or phenyl mono- or disubstituted with C_1-C_4 alkyl, C_1-C_4 alkoxy, hydroxy, nitro, chloro, fluoro or tri(chloro or fluoro)methyl;

R^3 is C_1-C_4 alkyl, C_5-C_7 cycloalkyl or benzyl; or a physiologically acceptable acid addition salt thereof.

53. A method of claim 52 wherein the compound is 6-hydroxy-2-(4-hydroxyphenyl)-3-[4-(2-piperidinoethoxy)benzoyl]benzo[b]thiophene, or a physiologically acceptable acid addition salt thereof.

54. A method of claim 53 wherein the compound is the hydrochloride.

55. A method of claim 52 wherein the compound is a compound wherein R and R^1 are the same, and are a group other than hydrogen.

56. A method of claim 55 wherein the compound is a compound wherein R and R^1 are $-COR^2$.

57. A method of claim 56 wherein the compound is 6-acetoxy-2-(4-acetoxyphenyl)-3-[4-(2-piperidinoethoxy)benzoyl]benzo[b]thiophene, or a physiologically acceptable acid addition salt thereof.

58. A method of claim 56 wherein the compound is 6-benzoyloxy-2-(4-benzoyloxyphenyl)-3-[4-(2-piperidinoethoxy)benzoyl]benzo[b]thiophene, or a physiologically acceptable acid addition salt thereof.

59. A method of claim 56 wherein the compound is 6-ethoxycarbonyloxy-2-(4-ethoxycarbonyloxyphenyl)-3-[4-(2-piperidinoethoxy)benzoyl]benzo[b]thiophene, or a physiologically acceptable acid addition salt thereof.

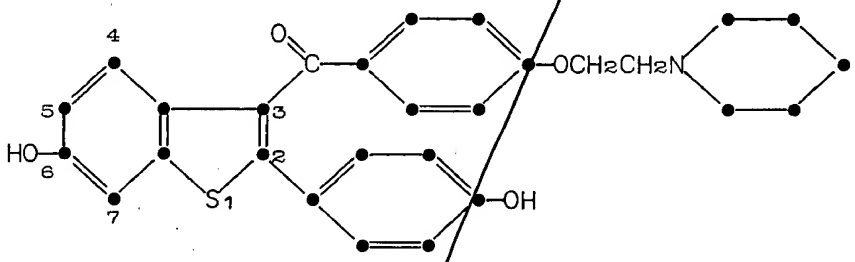
60. A method of claim 55 wherein the compound is a compound wherein R and R^1 are R^3.

61. A method of claim 60 wherein the compound is 6-methoxy-2-(4-methoxyphenyl)-3-[4-(2-piperidino-

ethoxy)benzoyl]benzo[b]thiophene, or a physiologically acceptable acid addition salt thereof.

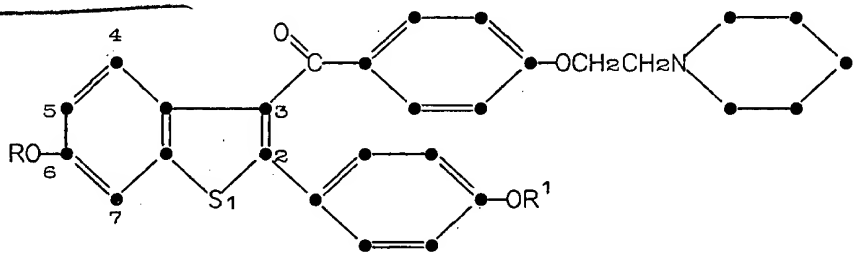
47. A method of claim 37 wherein the compound is a compound wherein one of R and R^1 is hydrogen.

48. A pharmaceutical composition comprising a compound of the formula



a physiologically acceptable ester or ether thereof, or a physiologically acceptable acid addition salt thereof.

49. A composition of claim 48 wherein the compound is of the formula



wherein R and R^1 independently are hydrogen, $-COR^2$ or R^3;

R^2 is hydrogen, C_1-C_{14} alkyl, C_1-C_3 chloroalkyl, C_1-C_3 fluoroalkyl, C_5-C_7 cycloalkyl, C_1-C_4 alkoxy, phenyl, or phenyl mono- or disubstituted with C_1-C_4 alkyl, C_1-C_4 alkoxy, hydroxy, nitro, chloro, fluoro or tri(chloro or fluoro)methyl;

R^3 is C_1-C_4 alkyl, C_5-C_7 cycloalkyl or benzyl; or a physiologically acceptable acid addition salt thereof.

~~50~~ 26. A composition of claim ~~49~~ 25 wherein the compound is 6-hydroxy-2-(4-hydroxyphenyl)-3-[4-(2-piperidinoethoxy)benzoyl]benzo[b]thiophene, or a physiologically acceptable acid addition salt thereof.

~~51~~ 27. A composition of claim ~~50~~ 26 wherein the compound is the hydrochloride.

~~52~~ 28. A composition of claim ~~49~~ 25 wherein the compound is a compound wherein R and R^1 are the same, and are a group other than hydrogen.

~~53~~ 29. A composition of claim ~~52~~ 28 wherein the compound is a compound wherein R and R^1 are $-COR^2$.

~~54~~ 30. A composition of claim ~~53~~ 29 wherein the compound is a compound wherein R^2 is C_1-C_{14} alkyl.

~~55~~ 31. A composition of claim ~~54~~ 30 wherein the compound is 6-acetoxy-2-(4-acetoxyphenyl)-3-[4-(2-piperidinoethoxy)benzoyl]benzo[b]thiophene, or a physiologically acceptable acid addition salt thereof.

~~56~~ 32. A composition of claim ~~53~~ 29 wherein the compound is a compound wherein R^2 is phenyl.

~~57~~ 33. A composition of claim ~~56~~ 32 wherein the compound is 6-benzoyloxy-2-(4-benzoyloxyphenyl)-3-[4-(2-piperidinoethoxy)benzoyl]benzo[b]thiophene, or a physiologically acceptable acid addition salt thereof.

~~58~~ 34. A composition of claim ~~53~~ 29 wherein the compound is a compound wherein R^2 is C_1-C_4 alkoxy.

~~59~~ 35. A composition of claim ~~58~~ 34 wherein the compound is 6-ethoxycarbonyloxy-2-(4-ethoxycarbonyloxyphenyl)-3-[4-(2-piperidinoethoxy)benzoyl]benzo[b]thiophene,

or a physiologically acceptable acid addition salt thereof.

~~60~~ 36. A composition of claim ~~52~~ 28 wherein R and R^1 are R^3.

~~61~~ 37. A composition of claim ~~60~~ 36 wherein the compound is 6-methoxy-2-(4-methoxyphenyl)-3-[4-(2-piperidinoethoxy)benzoyl]benzo[b]thiophene, or a physiologically acceptable acid addition salt thereof.

~~62~~ 38. A composition of claim ~~49~~ 25 wherein the compound is a compound wherein one of R and R^1 is hydrogen.

Charles D. Jones

12-11-81